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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 02	LMEDLINE coverage updated
NEWS	3	JUL 02	SCISEARCH enhanced with complete author names
NEWS	4	JUL 02	CHEMCATS accession numbers revised
NEWS	5	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS	6	JUL 16	CAplus enhanced with French and German abstracts
NEWS	7	JUL 18	CA/CAplus patent coverage enhanced
NEWS	8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS	9	JUL 30	USGENE now available on STN
NEWS	10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS	11	AUG 06	FSTA enhanced with new thesaurus edition
NEWS	12	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS	13	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS	14	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS	15	AUG 27	USPATOLD now available on STN
NEWS	16	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS	17	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS	18	SEP 13	FORIS renamed to SOFIS
NEWS	19	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS	20	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS	21	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS	22	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	23	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	24	OCT 19	BEILSTEIN updated with new compounds
NEWS	25	NOV 15	Derwent Indian patent publication number format enhanced

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 17:39:08 ON 17 NOV 2007

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COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:39:16 ON 17 NOV 2007
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STRUCTURE FILE UPDATES: 16 NOV 2007 HIGHEST RN 954406-40-7
DICTIONARY FILE UPDATES: 16 NOV 2007 HIGHEST RN 954406-40-7

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http://www.cas.org/support/stngen/stndoc/properties.html

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L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
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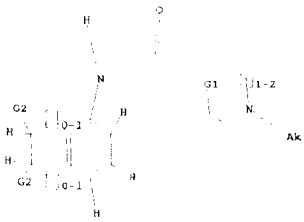

G1 C,N

G2 OH,C,H,O,Ak,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 17:39:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22712 TO ITERATE

100.0% PROCESSED 22712 ITERATIONS 276 ANSWERS
SEARCH TIME: 00.00.01

L2 276 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 17:39:50 ON 17 NOV 2007
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FILE COVERS 1907 - 17 Nov 2007 VOL 147 ISS 22
FILE LAST UPDATED: 16 Nov 2007 (20071116/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.

They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l2 full
L3 23 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:675422 CAPLUS
DOCUMENT NUMBER: 147:95554
TITLE: Substituted aniline derivatives useful as histamine H3 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Solomon, Daniel M.; Aslanian, Robert G.; Berlin, Michael Y.; De Lera Ruiz, Manuel; McCormick, Kevin D.; Mutahi, Mwangi W.; Tom, Wing C.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 61pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007142394	A1	20070621	US 2005-641153	20061219
WO 2007075688	A2	20070705	WO 2006-US48440	20061219
WO 2007075688	A3	20070907		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NG, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:	US 2005-752637P	P	20051221
OTHER SOURCE(S):	MARPAT 147:95554		

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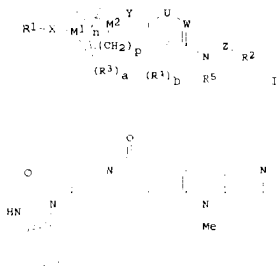


II

AB Disclosed are compds. of the formula or a pharmaceutically acceptable salt thereof, and compns. and methods of treating obesity, metabolic syndrome and a cognition deficit disorder, alone or in combination with other agents. Compds. of formula I wherein a is n, 1 and 2; b is 0, 1, 2, 3 and 4; U and W are CH or one of U and W is CH and the other N; when M1 is CH and (un)substituted alkyl; M2 is N; n is 1 and 2; p is 0, 1 and 2; X is a bond, alkylene, alkenylene, CO, O, CH2O, etc.; Y is CH2, (CH2)2, CO, C=NOH and derivs., S, SP, and SO2; when M1 is N; M2 is N; n is 2; p is 1 and 2; X is bond, alkylene, alkenylene, CO, NHCO, OCO, SO and SO2; Y is CH2, (CH2)2, CO, S, SO and SO2; when M1 is N; M2 is CH; n is 1 and 2; p is 0, 1, and 2; X is bond, alkylene, alkenylene, CO, NHCO, OCO, SO and SO2; Y is O, CH2, (CH2)2, CO, C=NOH and derivs., S, SO, and SO2; Z is bond, (un)substituted alkenyl; R1 is (un)substituted alkyl, (un)substituted aryl(alkyl), (un)substituted 5-to 6-membered heteroaryl(alkyl), etc.; R2 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (hetero)aryl(alkyl), etc.; each R3 is independently H, halo, (halo)alkyl, OH, alkoxy and CN; each R4 is independently H, alkyl, OH, alkoxy, halo, CF3, OCF3, NO2, CO2H and derivs., NH2 and derivs., etc.; R5 is H, halo, haloalkyl, (un)substituted cycloalkyl, (un)substituted (hetero)aryl, and acyl; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their histamine H3 antagonistic activity (data given).

IT 942270-93-1P

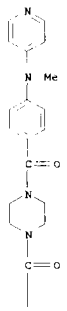
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted aniline derivs. as histamine H3 antagonists useful in treatment and prevention of diseases)

RN 942270-93-1 CAPLUS

CN 1-Piperazinecarboxamide, 4-[4-(methyl-4-pyridinylamino)benzoyl]-N-2-naphthalenyl- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L3 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:133786 CAPLUS

DOCUMENT NUMBER: 146:309356

TITLE: Methods using farnesyl transferase inhibitors for the treatment of synucleinopathies

INVENTOR(S): Lansbury, Peter T.; Liu, Zhihua

PATENT ASSIGNEE(S): The Brigham and Women's Hospital, Inc., USA

SOURCE: Aust. Pat. Appl., 520pp.
CODEN: AUXXCM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 2006230674	A1	20061116	AU 2006-230674	20061018
PRIORITY APPLN. INFO.:			AU 2006-230674	20061018

OTHER SOURCE(S): MARPAT 146:309356

AB The invention provides methods for treating synucleinopathies, e.g. Parkinson's disease, diffuse Lewy body disease, and multiple system atrophy, comprising administering a synucleinopathic subject a farnesyl transferase inhibitor.

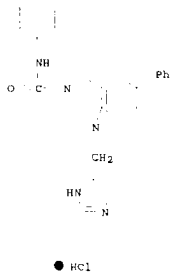
IT 195982-03-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(farnesyl transferase inhibitors for treatment of synucleinopathies)

RN 195982-03-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-carboxamide, 1,2,3,5-tetrahydro-1-(1H-imidazol-5-ylmethyl)-N-1-naphthalenyl-7-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L3 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:85847 CAPLUS

DOCUMENT NUMBER: 146:184486

TITLE: Preparation of piperazinomethyl substituted quinazolines useful in cancer treatment

INVENTOR(S): Mallams, Alan K.; Dasmahapatra, Bimalendu; Neustadt, Bernard R.; Demma, Mark; Vaccaro, Henry A.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 569pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011623	A1	20070125	WO 2006-US27114	20060713

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KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2007032502 A1 20070208 US 2006-486358 20060713

PRIORITY APPLN. INFO.: US 2005-700058P P 20050715

OTHER SOURCE(S): MARPAT 146:184486

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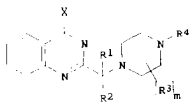

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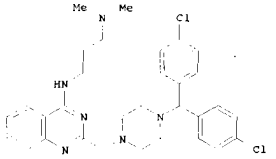


II

AB The title compds. I [m = 0-2; X = OR5, N(R6)2; R1, R2 = H, alkyl; R3 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3 = alkyl; R4 = alkyl, cycloalkyl, aryl, etc.; R5, R6 = H, alkyl, cycloalkyl, etc.], useful for treating cellular proliferative diseases, disorders associated with activity of mutants of p53, or in causing apoptosis of cancer cells, were prepared E.g., a multi-step synthesis of II, starting from Et 2-aminobenzoate and chloroacetonitrile, was given. Compound II showed EC50 of 1.1 μM (MB468) when tested in proliferation assay measuring the growth suppression effects of small mols. in cells with mutant p53 vs. p53 null background. The present invention also provides compns. comprising the compds. I.

IT 922153-20-6P 922156-06-7P 922159-12-4P

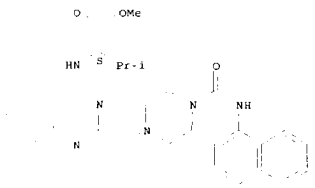
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinomethyl substituted quinazolines as antitumor agents)

RN 922153-20-6 CAPLUS

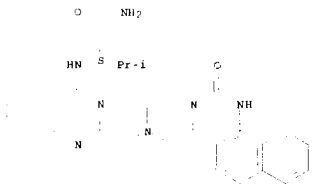
CN L-Valine, N-[2-[[4-[(1-naphthalenylamino)carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

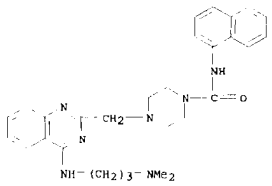


RN 922156-06-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[4-[[(1S)-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazolinyl]methyl]-N-1-naphthalenyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 922159-12-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]-N-1-naphthalenyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:605658 CAPLUS
DOCUMENT NUMBER: 145:62919
TITLE: Preparation of 2-alkoxybenzenecarboxamides as poly(ADP-ribose)polymerase (PARP) inhibitors for the treatment of cancer
INVENTOR(S): Javaid, Muhammad Hashim; Smith, Graeme Cameron Murray; Martin, Niall Morrison Barr; Gomez, Sylvie; Loh, Vincent Junior Ming Lai; Cockcroft, Xiao-Ling Fan; Menear, Keith Allan
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Ltd., UK
SOURCE: U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006135770	A1	20060622	US 2005-315528	20051222
WO 2006067472	A1	20060629	WO 2005-GB5017	20051222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1828118	A1	20070905	EP 2005-823458	20051222
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IN 2007DN04742	A	20070817	IN 2007-DN4742	20070619
PRIORITY APPLN. INFO.:			GB 2004-28111	A 20041222
			US 2004-638912P	P 20041223

US 2005-695306P P 20050630
WO 2005-GB5017 W 20051222

OTHER SOURCE(S): CASREACT 145:62919; MARPAT 145:62919
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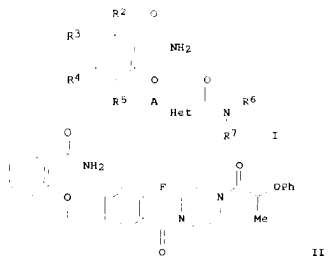
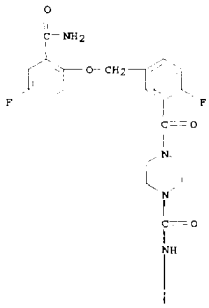


AB Title compds. I and isomers, salts, solvates, chemical protected forms, and prodrugs thereof [wherein R2 - R5 = H, alkoxy, amino, halo or hydroxy; A = (CH2)n; n = 1 or 2; R6, R7 = H, (un)substituted alkyl, heterocyclyl or aryl; or R6 and R7 together with the nitrogen atom to which they are attached form (un)substituted 5-7 membered, N-heterocyclic ring; Het = Cl/F-(un)substituted Ph or certain 5/6-membered heteroaryl] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors. For instance, II was synthesized in multiple steps, and showed inhibitory activity against PARP with an IC50 of < 0.1 μM and cell growth inhibition with a PF50 (potentiation factor) at 200 nM of at least 1.5. Therefore, I and their pharmaceutical compns. are useful for treating diseases ameliorated by the inhibition of PARP, such as cancer
IT 891833-20-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alkoxybenzenecarboxamides as poly(ADP-ribose)polymerase (PARP) inhibitors for the treatment of cancer)
RN 891833-20-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-[5-[[2-(aminocarbonyl)-4-fluorophenoxy]methyl]-2-fluorobenzoyl]-N-1-naphthalenyl- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L3 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:117041 CAPLUS
DOCUMENT NUMBER: 144:212800
TITLE: Preparation of piperidine and piperazine derivatives as histamine H3 receptor ligands for treatment of depression
INVENTOR(S): Folmer, James; Hunt, Simon Fraser; Hamley, Peter; Wesolowski, Steven
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO	DATE
WO 2006014135	A1	20060209	WO 2005-SE1188	20050727
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005267931	A1	20060209	AU 2005-267931		20050727
CA 2576109	A1	20060209	CA 2005-2576109		20050727
EP 1784394	A1	20070516	EP 2005-766829		20050727
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
CN 1993340	A	20070704	CN 2005-80026233		20050727
IN 2007DN00231	A	20070803	IN 2007-DN231		20070109
US 2007249618	A1	20071025	US 2007-572966		20070130
NO 2007001140	A	20070419	NO 2007-1140		20070228
PRIORITY APPLN. INFO.:			SE 2004-1970	A	20040802
			WO 2005-SE1188	W	20050727

OTHER SOURCE(S): CASREACT 144:212800, MARPAT 144:212800

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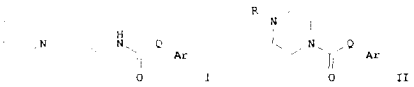


AB The title piperidine and piperazine derivs. with general formula of I and II (wherein R = alkyl; Q = -N(CH2CH2)2CH-, -N(CH2CH2)2N-, -N(CH2CH2)2CH-O-, -N(CH2CH2)2CH-NH-CO-, etc.; Ar = (un)substituted (hetero)aryl), or pharmaceutically acceptable salts, diastereomers, enantiomers, or mixts. thereof were prepared as histamine H3 receptor ligands for treatment of depression. For example, 3,4-dichlorobenzylamine was reacted with 4-nitrophenyl chloroformate in THF in the presence of diisopropylethylamine, followed by the addition of N-methylpiperazine to give N-(3,4-dichlorobenzyl)-4-methylpiperazine-1-carboxamide (73%). The biol. activity of the title compds. as histamine H3 receptor ligands binding towards human recombinant H4 receptor was tested (no data). The compds. are useful in therapy, in particular in the treatment of depression (no data).

IT 875546-37-5P 875546-61-5P

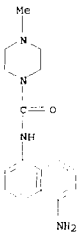
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine and piperazine derivs. as histamine H3 receptor ligands for treatment of depression)

RN 875546-37-5 CAPLUS

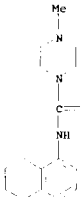
CN 1-Piperazinecarboxamide, N-(5-amino-1-naphthalenyl)-4-methyl- (CA INDEX

NAME)



RN 875546-61-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-methyl-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2005:1049851 CAPLUS
DOCUMENT NUMBER:	143:339666
TITLE:	Methods using farnesyl transferase inhibitors for the treatment of synucleinopathies
INVENTOR(S):	Lansbury, Peter T.; Liu, Zhihua
PATENT ASSIGNEE(S):	The Brigham and Women's Hospital, Inc., USA
SOURCE:	PCT Int. Appl., 205 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089515	A2	20050929	WO 2005-US9396	20050318
WO 2005089515	A9	20060126		
WO 2005089515	A3	20060427		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005227722	A1	20051208	US 2005-84739	20050318
PRIORITY APPLN. INFO.:			US 2004-555071P	P 20040318

OTHER SOURCE(S): MARPAT 143:339666

AB Methods are provided of treating synucleinopathies, such as Parkinson's disease, diffuse Lewy body disease and multiple system atrophy, comprising admin.steering to a synucleinopathic subject a farnesyl transferase inhibitor compound

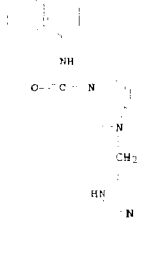
IT 199982-03-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(farnesyl transferase inhibitors for treatment of synucleinopathies)

RN 199982-03-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-carboxamide, 1,2,3,5-tetrahydro-1-(1H-imidazol-5-ylmethyl)-N-1-naphthalenyl-7-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



L3 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2004:780675 CAPLUS
DOCUMENT NUMBER:	141:296034
TITLE:	Preparation of phthalazinones as PARP inhibitors
INVENTOR(S):	Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Jackson, Stephen Philip; Loh, Vincent M., Jr.; Cockcroft, Xiao-Ling Fan; Matthews, Ian Timothy Williams; Menear, Keith Allan; Kerrigan, Frank; Ashworth, Alan
PATENT ASSIGNEE(S):	Kudos Pharmaceuticals Limited, UK; Maybridge Limited
SOURCE:	PCT Int. Appl., 102 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080976	A1	20040923	WO 2004-GB1059	20040312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004220321	A1	20040923	AU 2004-220321	20040312
CA 2517629	A1	20040923	CA 2004-2517629	20040312
GB 2415430	A	20051228	GB 2005-20754	20040312
GB 2415430	B	20060712		
BR 2004008284	A	20060307	BR 2004-8284	20040312
EP 1603724	A1	20060315	EP 2004-720068	20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1788000	A	20060614	CN 2004-80012878	20040312
JP 2006519827	T	20060831	JP 2006-505955	20040312
IN 2005DN03895	A	20070427	IN 2005-DN3895	20050831
ZA 2005007097	A	20060628	ZA 2005-7097	20050905
MX 2005PA09661	A	20060308	MX 2005-PA9661	20050909
NO 2005004625	A	20051111	NO 2005-4625	20051007
HK 1079530	A1	20061020	HK 2006-101301	20060127
PRIORITY APPLN. INFO.:			GB 2003-5681	A 20030312
			US 2003-454995P	P 20030314
			US 2003-493399P	P 20030806
			US 2003-526244P	P 20031201
			WO 2004-GB1059	A 20040312

OTHER SOURCE(S): MARPAT 141:296034

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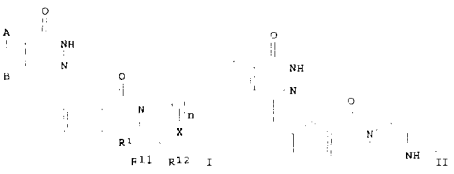
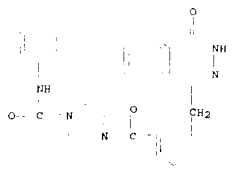


AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; X = NRx or CRxRy; if X= Nbx then n = 1 or 2 and if X = CRxRy then n = 1; Rx = H, (un)substituted C1-20 alkyl, C5-20 aryl, C3-20 heterocyclyl, amido, thioamido, ester, acyl, and sulfonyl groups; Ry = H, OH, NH2; or Rx and Ry may together form a spiro(C3-7)cycloalkyl or heterocyclyl group; R11 and R12 are both H, or when X = CRxRy, R11, R12, Rx and Ry, together with the carbon atoms to which they are attached, may form (un)substituted fused aromatic ring; R1 = H, halo], were prepared Thus, reacting 3-(4-oxo-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid (preparation given) with tert-Bu 1-piperazinecarboxylate afforded 77% II which had IC50 of < 0.02 μM against PARP. All compds. I tested had a IC50 of < 0.1 μM in the PARP assay. The pharmaceutical composition comprising the compound I is claimed.

IT 763113-44-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinones as PARP inhibitors)

RN 763113-44-6 CAPLUS

CN 1-Piperazinecarboxamide, 4-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]benzoyl]-N-1-naphthalenyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:612492 CAPLUS
DOCUMENT NUMBER: 141:156959

TITLE: Preparation of β-lactam compounds as inhibitors of tryptase
INVENTOR(S): Bisacchi, Gregory S.; Sutton, James C.; Slusarchyk, William A.; Treuner, Uwe; Zhao, Guohua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 109 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004147502	A1	20040729	US 2003-728276	20031204
PRIORITY APPLN. INFO.:			US 2002-434060P P	20021217
OTHER SOURCE(S):	MARPAT 141:156959			

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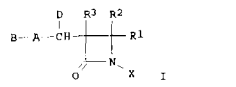

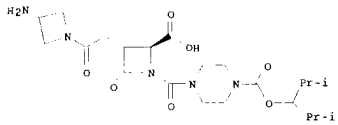

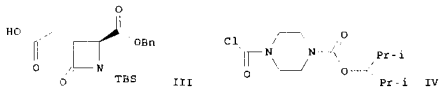

AB Beta lactam compds., such as I [R1 = H, carboxy, alkoxycarbonyl, alkenylaryl, CO-heterocyclyl, etc.; R2, R3 = H, alkyl; D = H, ORa; Ra = H, alkyl; A = CO-heterocyclyl, cycloheteroalkyl-CO, substituted amido, cycloalkyl, aryl, heteroaryl, cycloheteroalkyl; B = amino, aminoalkyl, aminocycloalkyl, cycloheteroalkyl, aryl, heteroaryl, alkylamino, carboxamido], are prepared Thus, II was prepared via a multistep synthetic sequence starting from [1-(diphenylmethyl)-3-azetidinyl]-carbamic acid-1,1-dimethylethyl ester, III, and piperazinyl derivative IV. These compds. are useful as inhibitors of tryptase, thrombin, trypsin, Factor Xa, Factor VIIa, and urokinase-type plasminogen activator and may be employed in preventing and/or treating asthma and allergic rhinitis.

IT 727724-96-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-lactam compds. as tryptase inhibitors)

RN 727724-96-1 CAPLUS

CN 2-Azetidinecarboxylic acid, 1-[[4-[(1-naphthalenylamino)carbonyl]-1-piperazinyl]carbonyl]-4-oxo-3-(4-piperidinylmethyl)-, (2S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:454318 CAPLUS
DOCUMENT NUMBER: 139:36450
TITLE: Preparation of 4-[(piperidylalkyl)ureido]quinolines, 4-[(pyrrolidylalkyl)ureido]quinolines, and analogs as urotensin II receptor antagonists
INVENTOR(S): Aissaoui, Hamed; Binkert, Christoph; Clozel, Martine; Machys, Boris; Mueller, Claus, Nayler, Oliver; Scherz, Michael; Velker, Joerg; Weller, Thomas
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048154	A1	20030612	WO 2002-EP13577	20021203
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2473892	A1	20030612	CA 2002-2473892	20021202
AU 2002358071	A1	20030617	AU 2002-358071	20021202
EP 1499607	A1	20050126	EP 2002-791749	20021202
EP 1499607	B1	20051207		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

HU 2004002184	A2	20050228	HU 2004-2184	20021202
CN 1617869	A	20050518	CN 2002-827776	20021202
AT 312090	T	20051215	AT 2002-791749	20021202
NZ 534046	A	20060224	NZ 2002-534046	20021202
ES 2254772	T3	20060616	ES 2002-2791749	20021202
NO 2004002844	A	20040823	NO 2004-2844	20040705
MX 2004PA06599	A	20041207	MX 2004-PA6599	20040705
ZA 2004005348	A	20051012	ZA 2004-5348	20040705
US 2005043535	A1	20050224	US 2004-501054	20040915
PRIORITY APPLN. INFO.:			WO 2001-EP14295 A	20011204
			WO 2002-EP13577 W	20021202

OTHER SOURCE(S): MARPAT 139:36450

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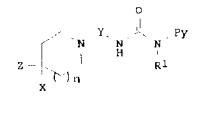
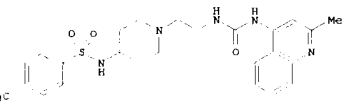
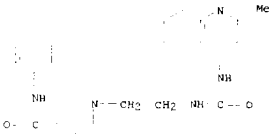




AB Title (pyridin-4-yl)urea derivs. and related compds. I [wherein Py = (un)substituted 2-NR2R3-pyridin-4-yl, quinolin-4-yl, (5,6,7,8-tetrahydro)[1,8]naphthyridin-4-yl, or 2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-4-yl; X = aryl(oxy), arylalkyl, (aryl)alkyl-SO2NR2, aryl-SO2NR2, (aryl)alkyl-CONR2, aryl-CONR2, (aryl)alkyl-NR3CONR2, aryl-NR3CONR2, aroyl, arylalkanoyl, (aryl)alkyl-NR2CO, aryl-NR2CO, etc.; Y = CR4R5(CH2)m or (CH2)mCR4R5; Z = H, or when X = aryl(alkyl), Z = H, OH, CO2H, aryl-CONR2, alkyl-NR2CO, or (aryl)alkyl-NR2CO; m = 1-2; n = 0-1; R1 = H or alkyl; R2 and R3 = independently H or (aryl)alkyl; or NR2R3 = piperidyl, pyrrolidinyl, or morpholinyl; R4 = H, (aryl)alkyl, or aryl; R5 = H or Me; or CR4R5 = carbocyclyl; and enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvates, or morphol. forms thereof] were prepared as urotensin II receptor antagonists. For example, reaction of 4-amino-2-methylquinoline with 2-chloroethylisocyanate gave the urea. Substitution with piperidin-4-ylcarbamic acid tert-Bu ester, deprotection of the amine, and coupling with 4-trifluoromethylbenzenesulfonyl chloride provided II. Compds. of the invention inhibited binding of human [125I]-urotensin II to human-derived rhabdomyosarcoma cells in vitro with IC50 values ranging from 0.1 nM to 1000 nM. Thus, I are useful as active ingredients in pharmaceutical comps. for the treatment of vasoconstriction, proliferation, and a wide variety of other disease states associated with urotensin II regulation (no data).

IT 540769-67-3P, 1-[2-[3-(2-Methylquinolin-4-

yl)ureido]ethyl]piperidine-4-carboxylic acid N-(naphthalen-1-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(urotensin antagonist; preparation of ureidoquinolines and analogs as urotensin II receptor antagonists for treatment of vasoconstriction, proliferation, and other disorders)
RN 540769-67-3 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-[[[[2-methyl-4-quinolinyl)amino]carbonyl]amino]ethyl]-N-1-naphthalenyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:417719 CAPLUS
DOCUMENT NUMBER: 139:6892
TITLE: Preparation of diazacycloalkane substituted piperazines as inhibitors and/or destabilizing androgen receptor ligands for the treatment of tumor illnesses, e.g. prostate cancer
INVENTOR(S): Cleve, Arwed; Huwe, Christoph; Schulze, Volker; Morack, Helmut; Zopf, Dieter; Hoffmann, Jens; Reichel, Andreas
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 229 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043983	A1	20030530	WO 2002-EP12182	20021031
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10159035	A1	20030612	DE 2001-10159035	20011123

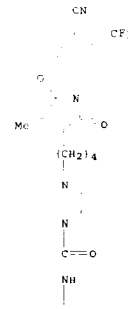
DE 10238742	A1	20040304	DE 2002-10238742		20020819
AU 2002360932	A1	20030610	AU 2002-360932		20021031
US 2004009969	A1	20040115	US 2002-301871		20021122
US 6861432	B2	20050301			
PRIORITY APPLN. INFO.:			DE 2001-10159035	A	20011123
			DE 2002-10238742	A	20020819
			US 2002-383785P	P	20020530
			US 2002-406650P	P	20020829
			WO 2002-EP12182	W	20021031

OTHER SOURCE(S): MARPAT 139:6892
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = CH3CO, CH3CONH, CN, etc.; B = H, halo, CF3, etc.; T = C or N with provisos: U = O, S; Q = C(CH3)2, =C(CH3); R1, R2 = H, CH3; i, j = 1-2, i+j = 2 or 3] their pharmaceutically acceptable salts and formulations were prepared For example, N-alkylation 1,1-dimethylethyl piperazin-1-carboxylate with iodopyrrol II, e.g., prepared from di-Me acetylene dicarboxylate in 4-steps, provided claimed piperazine III. In inhibition of LNCaP cell proliferation, 18-examples of compds. I exhibited IC50 values ranging from 0.2-3.8 x 10-7 M. Compds. I are claimed useful for the treatment of prostate cancer and benign prostatic hyperplasia.
IT 534608-10-1P, 4-[4-[1-[4-Cyano-3-(trifluoromethyl)phenyl]-2,5-dihydro-4-methyl-2,5-dioxo-1H-pyrrol-3-yl]butyl]-N-(naphthalin-1-yl)piperazin-1-carboxamide 534608-89-4P, 4-[5-[1-[4-Cyano-3-(trifluoromethyl)phenyl]-2,5-dihydro-4-methyl-2,5-dioxo-1H-pyrrol-3-yl]pentyl]-N-(naphthalin-1-yl)piperazin-1-carboxamide 534609-96-6P, 4-[6-[1-[4-Cyano-3-(trifluoromethyl)phenyl]-2,5-dihydro-4-methyl-2,5-dioxo-1H-pyrrol-3-yl]hexyl]-N-(naphthalin-1-yl)piperazin-1-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of diazacycloalkane substituted piperazines as inhibitors and/or destabilizing androgen receptor ligands for treatment of tumor illnesses)
RN 534608-10-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-[4-[1-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dihydro-4-methyl-2,5-dioxo-1H-pyrrol-3-yl]butyl]-N-1-naphthalenyl- (CA INDEX NAME)

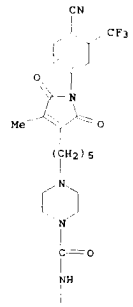
PAGE 1-A



PAGE 2-A

RN 534608-89-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[5-[1-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dihydro-4-methyl-2,5-dioxo-1H-pyrrol-3-yl]pentyl]-N-1-naphthalenyl- (CA INDEX NAME)

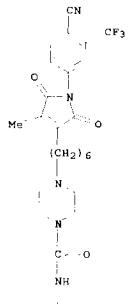
PAGE 1-A



PAGE 2-A

RN 534609-96-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[6-[1-[4-cyano-3-(trifluoromethyl)phenyl]-2,5-dihydro-4-methyl-2,5-dioxo-1H-pyrrol-3-yl]hexyl]-N-1-naphthalenyl- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:251342 CAPLUS
DOCUMENT NUMBER: 137:262978
TITLE: Novel potent antagonists of human neuropeptide Y Y5 receptor. Part 1: 2-oxoBenzothiazolin-3-acetic acid derivatives
AUTHOR(S): Tabuchi, Seiichiro; Itani, Hiromichi; Sakata, Yoshihiko; Ohashi, Hiroko; Satoh, Yoshinari
CORPORATE SOURCE: Fujisawa Pharmaceutical Co., Ltd., Medicinal Chemistry Research Laboratories, Osaka, Yodogawa-ku, 532-8514, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(8), 1171-1175
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:262978

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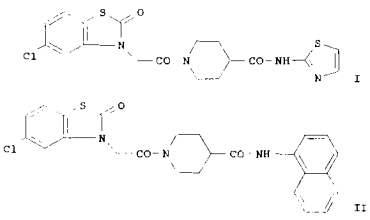
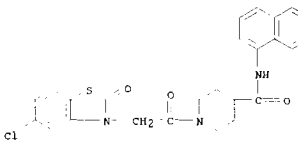


AB Novel neuropeptide NPY-Y5 antagonist FR73966 I was discovered by screening of our inhouse chemical library. The analogs, e.g. II, were prepared by application of parallel synthesis techniques. Some of the resulting 2-oxobenzothiazolin-3-acetic acid derivs. exhibited nanomolar binding affinity for human NPY-Y5 receptors.
IT 340178-71-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 2-oxobenzothiazolin-3-acetic acid derivs. as potent antagonists of human neuropeptide Y Y5 receptor)
RN 340178-71-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:172159 CAPLUS
DOCUMENT NUMBER: 134:366868
TITLE: Preparation of benzothiazolines as neuropeptide Y receptor antagonists
INVENTOR(S): Sato, Yoshiya; Itani, Hiromichi; Tabuchi, Seiichiro; Sakata, Yoshihiko; Ohashi, Hiroko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 88 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139574	A	20010522	JP 2000-296175	20000928
PRIORITY APPLN. INFO.:			AU 1999-3093 A	19990928

OTHER SOURCE(S): MARPAT 134:366868
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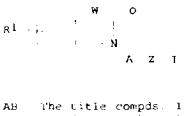
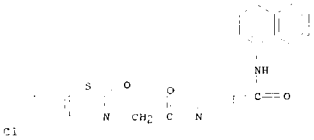
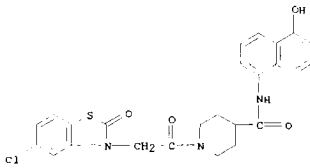


AB The title compds. I [R1 = H, halo; W = S, O; A = (CH2)n, etc.; n = 1 - 6; Z = (un)substituted N-containing heterocyclic ring] are prepared 1-[(5-Chloro-2-oxobenzothiazolin-3-yl)acetyl]piperidine-4-carboxylic acid 4-benzoylanilide showed IC100 of 10-7 M in a neuropeptide Y5 receptor binding assay.
IT 340179-71-4P 340178-83-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazolines as neuropeptide Y receptor antagonists)
RN 340178-71-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



RN 340178-83-8 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(5-chloro-2-oxo-3(2H)-benzothiazolyl)acetyl]-N-(5-hydroxy-1-napnthalenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12274 CAPLUS
DOCUMENT NUMBER: 134:86272
TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
INVENTOR(S): Hunt, Julianne A.; Mills, Sander G.; Sinclair, Peter J.; Zaller, Dennis M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO	DATE
WO 2001000214	A1	20010104	WO 2000-US17472	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376951	A1	20010104	CA 2000-2376951	20000626
US 6316444	B1	20011113	US 2000-603699	20000626
EP 1194152	A1	20020410	EP 2000-944858	20000626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503354	T	20030128	JP 2001-505923	20000626
PRIORITY APPLN. INFO.:			US 1999-141597P P	19990630
			WO 2000-US17472 W	20000626

OTHER SOURCE(S): MARPAT 134:86272
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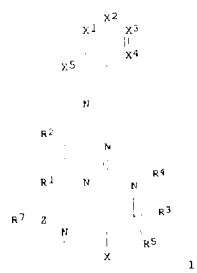
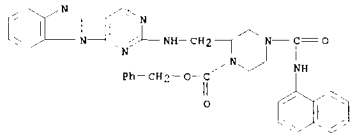


AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical comprns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, alkoxycarbonylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl (Ph or naphthyl unsubstituted or substituted with 1-3 substituents), or R3 and R5 taken together can represent :O. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl, or R4 and X can join together to form a 5- or 6-membered ring with substituted methylene or ethylene. X1, X2, X3, X4 in -X1-X2-X3:X4- are substituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5 = N, CH. R7 = H, alkyl, alkoxy, amino. X = O, S, SO, SO2, imino. Z = C:O, SO2, substituted P(:O)(OH) or a single bond. 44 Example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

IT 317365-35-8P, 2-[(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)methylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-49-4P, (R*,R*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-53-0P, (R*,S*)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-56-3P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine 317365-62-1P, 2-[Benzimidazol-1-yl]-4-[1-(1-(benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]pyrimidine 317365-69-8P, 2-[1-(1-

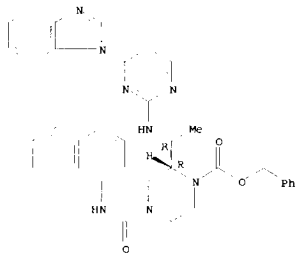
(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl)pyrimidine 317365-76-7P, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-80-3P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-85-8P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-87-0P, (S,S)-2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

RN 317365-35-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (CA INDEX NAME)



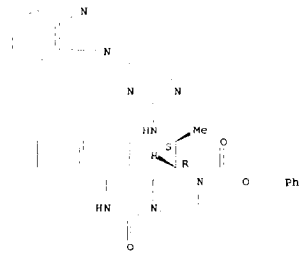
RN 317365-49-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

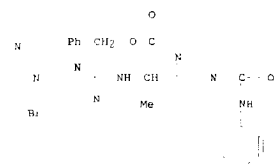


RN 317365-53-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2S)-rel- (CA INDEX NAME)

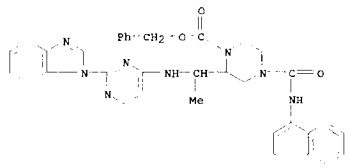
Relative stereochemistry.



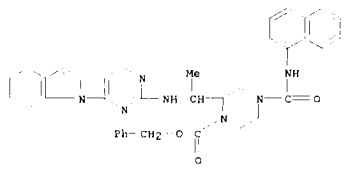
RN 317365-56-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (CA INDEX NAME)



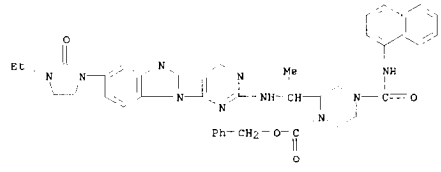
RN 317365-62-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[2-(1H-benzimidazol-1-yl)-4-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (CA INDEX NAME)



RN 317365-69-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-(1H-indol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (CA INDEX NAME)

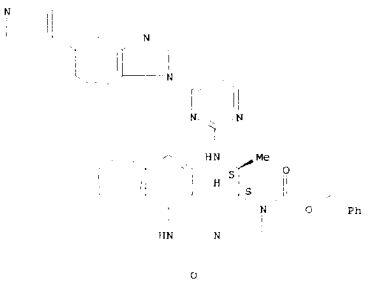


RN 317365-76-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-[5-(3-ethyl-2-oxo-1-imidazolidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (CA INDEX NAME)



RN 317365-80-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(1-naphthalenylamino)carbonyl]-2-[(1S)-1-[[4-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

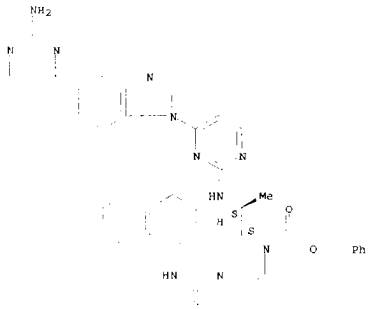
Absolute stereochemistry.



RN 317365-85-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1S)-1-[[4-[5-(2-amino-4-pyrimidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

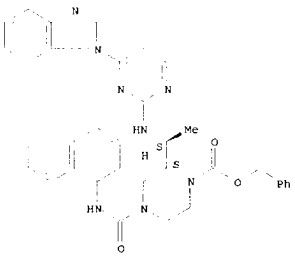
PAGE 1-A



PAGE 2-A

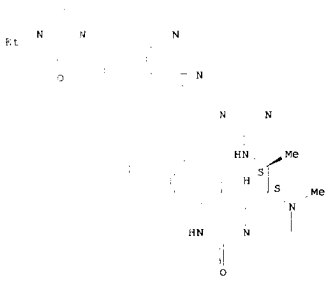
RN 317365-87-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



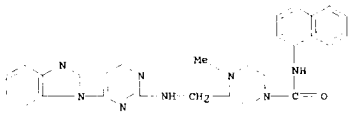
IT 317365-10-9P, (R*,R*)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation as inhibitor of Src-family protein tyrosine kinases and chromatog. resolution of)
RN 317365-10-9 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1R)-1-[[4-[5-(3-ethyl-2-oxo-1-imidazolidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3R)-rel- (CA INDEX NAME)

Relative stereochemistry.



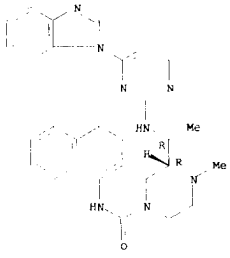
IT 317364-90-2P, 2-[(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)methylamino]-4-(benzimidazol-1-yl)pyrimidine 317364-93-5P, (R*,R*)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317364-96-8P, (R*,S*)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)pyrimidine 317364-97-9P, 2-[1-(1-Benzyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)pyrimidine 317365-06-3P, 2-[Benzimidazol-1-yl]-4-[1-(1-methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]pyrimidine 317365-08-5P, 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(indol-1-yl]pyrimidine 317365-11-0P, (S,S)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-13-2P, (S,S)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-15-4P, (S,S) 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-16-5P, (S,S)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-17-6P, (S,S)-2-[1-(1-Ethyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-19-7P, (S,S)-2-[1-(1-Hexyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-19-8P, (S,S)-2-[1-(1-(2-Methylpropyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-20-1P, (S,S)-2-[1-(1-(Pyridin-4-ylmethyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-21-2P, (S,S)-2-[1-(1-(Etnoxycarbonylmethyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-24-5P, (S,S)-2-[1-(1-Acetyl-4-(N-naphth-1-ylcarbamoyl]piperazin-2-yl)ethylamino]-4-[benzimidazol-1-yl]pyrimidine 317365-26-7P, (R,R)-2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(3-ethylimidazolidin-2-on-1-yl)benzimidazol-1-yl]pyrimidine 317365-94-9P, 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-

(2-aminopyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-95-0P, 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]pyrimidine 317365-96-1P, 2-(1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]pyrimidine 317365-97-2P, 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(pyridazin-3-yl)benzimidazol-1-yl]pyrimidine 317365-98-3P 317365-99-4P, 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]-6-[2-methylphenyl]pyrimidine 317366-00-0P, 2-[1-(1-Methyl-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-[5-(2-aminopyrimidin-4-yl)benzimidazol-1-yl]-6-[2-(hydroxymethyl)phenyl]pyrimidine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)
RN 317364-90-2 CAPLUS
CN 1-Piperazinecarboxamide, 3-[[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]methyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)



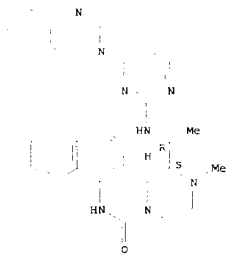
RN 317364-93-5 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

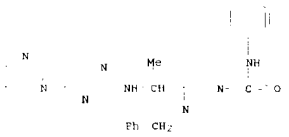


RN 317364-96-8 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1R)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3S)-rel- (CA INDEX NAME)

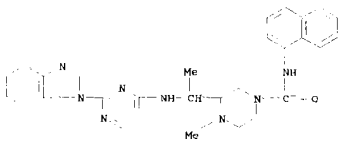
Relative stereochemistry.



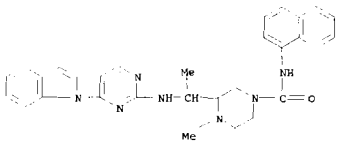
RN 317364-97-9 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-N-1-naphthalenyl-4-(phenylmethyl)- (CA INDEX NAME)



RN 317365-06-3 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[2-(1H-benzimidazol-1-yl)-4-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)

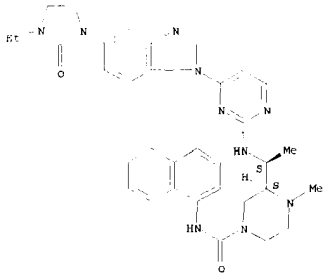


RN 317365-08-5 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-(1H-indol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)



RN 317365-11-0 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-[5-(3-ethyl-2-oxo-1-imidazolidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



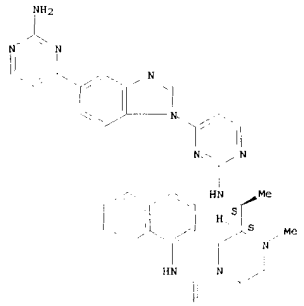
RN 317365-13-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-methyl-N-1-naphthalenyl-3-[(1S)-1-[[4-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 317365-15-4 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-[5-(2-amino-4-pyrimidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry

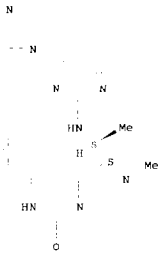
PAGE 1-A



PAGE 2-A

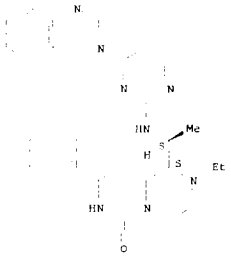
RN 317365-16-5 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



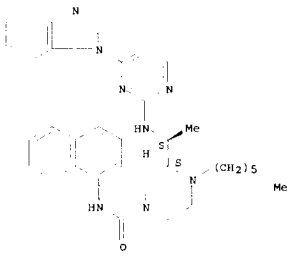
RN 317365-17-6 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-ethyl-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



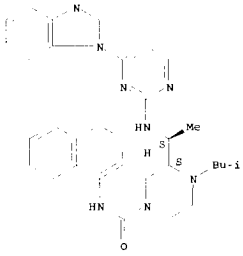
RN 317365-18-7 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-hexyl-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



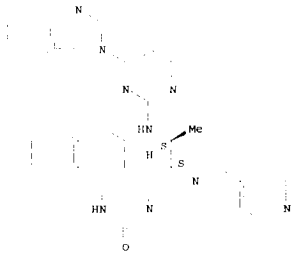
RN 317365-19-8 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-(2-methylpropyl)-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



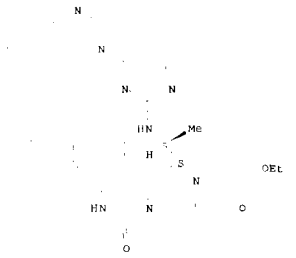
RN 317365-20-1 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-N-1-naphthalenyl-4-(4-pyridinylmethyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



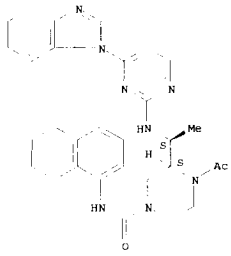
RN 317365-21-2 CAPLUS
CN 1-Piperazineacetic acid, 2-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, ethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



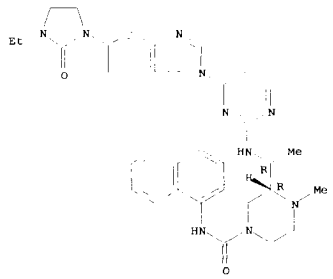
RN 317365-24-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-acetyl-3-[(1S)-1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-N-1-naphthalenyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

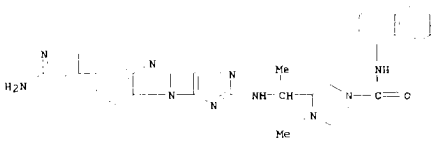


RN 317365-26-7 CAPLUS
CN 1-Piperazinecarboxamide, 3-[(1R)-1-[[4-[5-(3-ethyl-2-oxo-1-imidazolidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl-, (3R)- (CA INDEX NAME)

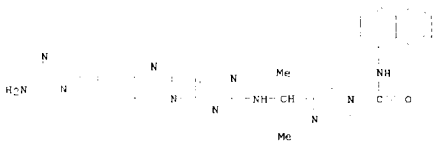
Absolute stereochemistry.



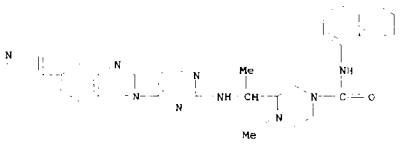
RN 317365-94-9 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-[5-(2-amino-4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)



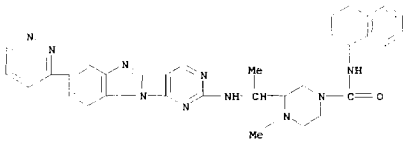
RN 317365-95-0 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-[5-(2-amino-4-pyrimidinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)



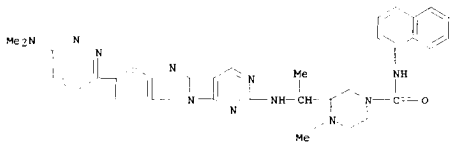
RN 317365-96-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-methyl-N-1-naphthalenyl-3-[1-[[4-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



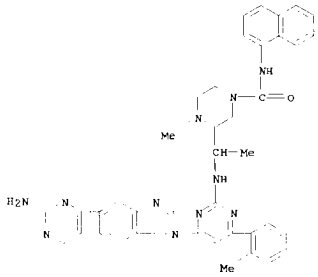
RN 317365-97-2 CAPLUS
CN 1-Piperazinecarboxamide, 4-methyl-N-1-naphthalenyl-3-[1-[[4-[5-(3-pyridazinyl)-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



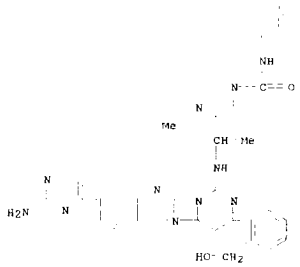
RN 317365-98-3 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-[5-[6-(dimethylamino)-3-pyridazinyl]-1H-benzimidazol-1-yl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)



RN 317365-99-4 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-[5-(2-amino-4-pyrimidinyl)-1H-benzimidazol-1-yl]-6-(2-methylphenyl)-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)

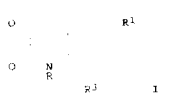


RN 317368-00-0 CAPLUS
CN 1-Piperazinecarboxamide, 3-[1-[[4-[5-(2-amino-4-pyrimidinyl)-1H-benzimidazol-1-yl]-6-[2-(hydroxymethyl)phenyl]-2-pyrimidinyl]amino]ethyl]-4-methyl-N-1-naphthalenyl- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

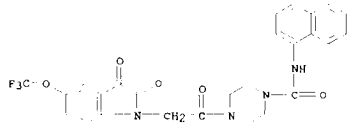
L3 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:#44922 CAPLUS
DOCUMENT NUMBER: 134:100734
TITLE: Parallel synthesis of isatin-based serine protease inhibitors
AUTHOR(S): Shuttleworth, Stephen J.; Nasturica, Daniel; Gervais, Christian; Siddiqui, M. Arshad; Rando, Robert F.; Lee, Nola
CORPORATE SOURCE: BioChem Pharma Inc., Laval, QC, H7V 4A7, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(22), 2501-2504
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:100734
GI



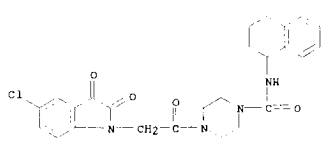
AB The synthesis of N-functionalized isatins, such as I [R = CH(Me)COC6H4-3-OMe, R1 = Me, R3 = H; R = CH2CONH2, CH2COC6H4-4-Cl, R1 = R3 = H], using parallel, solution synthesis is described. Functionalized polymers were employed as stoichiometric and catalytic reagents as well as purification media. The prepared isatins showed inhibition against a panel of serine proteases, i.e. human chymotrypsin, human leukocyte elastase, and human plasmin.

IT 319492-24-5P 319492-26-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of isatin based serine protease inhibitors using polymer bound reagents)

RN 319492-24-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[2,3-dihydro-2,3-dioxo-5-(trifluoromethoxy)-1H-indol-1-yl]acetyl]-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



RN 319492-26-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[(5-chloro-2,3-dihydro-2,3-dioxo-1H-indol-1-yl)acetyl]-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:690954 CAPLUS
DOCUMENT NUMBER: 131:307106
TITLE: Use of vitamin PP compounds as cytoprotective agents in chemotherapy
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus;

	Wosikowski, Katja
PATENT ASSIGNEE(S):	Klinge Pharma GmbH, Germany
SOURCE:	PCT Int. Appl., 145 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19818044	A1	19991028	DE 1998-19818044	19980422
EP 1031564	A1	20000830	EP 1999-103814	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9939282	A	19991108	AU 1999-39282	19990421
EP 1079832	A1	20010307	EP 1999-922119	19990421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512190	T	20020423	JP 2000-544324	19990421
AT 311186	T	20051215	AT 1999-922119	19990421
ES 2253890	T3	20060601	ES 1999-922119	19990421
WO 2000050399	A1	20000831	WO 2000-EP1628	20000228
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1154998	A1	20011121	EP 2000-907642	20000228
EP 1154998	B1	20070926		
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JP 2002537386	T	20021105	JP 2000-600982	20000228
EP 1818341	A2	20070808	EP 2007-10337	20000228
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 374185	T	20071015	AT 2000-907642	20000228
US 2002160968	A1	20021031	US 2001-936772	20010823
US 6506752	B2	20030114		

PRIORITY APPLN. INFO.:	DE 1998-19818044	A	19980422
	EP 1999-103814	A	19990226
	WO 1999-EP2686	W	19990421
	EP 2000-907642	A3	20000228
	WO 2000-EP1628	W	20000228
OTHER SOURCE(S):	MARPAT 131:307106		

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the reduction,

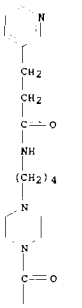
elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, especially with substituted pyridine carboxamides, as well as combination medicaments with an amount of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are especially considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong reduction of leukocytes was completely prevented.

IT 227776-04-7
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin PP compds. as cytoprotective agents in chemotherapy)

RN 227776-04-7 CAPLUS

CN 1-Piperazinecarboxamide, N-1-naphthalenyl-4-[4-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1999:404932 CAPLUS
DOCUMENT NUMBER:	131:58849
TITLE:	New piperazinyl-substituted pyridylalkane, -alkene, and -alkyne carboxamides, with antitumor and immunosuppressive activities
INVENTOR(S):	Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S):	Klinge Pharma G.m.b.H., Germany
SOURCE:	PCT Int. Appl., 224 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931063	A1	19990624	WO 1998-EP8268	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19756236	A1	19990701	DE 1997-19756236	19971217
ZA 9811235	A	19990608	ZA 1998-11235	19981208
AU 9920543	A	19990705	AU 1999-20543	19981216
EP 1060163	A1	20001220	EP 1998-965275	19981216
EP 1060163	B1	20051012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508356	T	20020319	JP 2000-538990	19981216
AT 306473	T	20051015	AT 1998-965275	19981216
ES 2251794	T3	20060501	ES 1998-965275	19981216
US 6903118	B1	20050607	US 2000-596001	20000616

PRIORITY APPLN. INFO.:	DE 1997-19756236	A	19971217
	WO 1998-EP8268	W	19981216
OTHER SOURCE(S):	MARPAT 131:58849		

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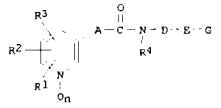

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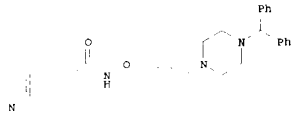


II

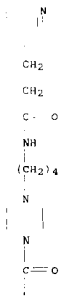
AB The invention relates to new piperazinyl-substituted pyridylalkanoic, -alkenoic, and alkynoic acid amides with a saturated or (poly)unsatd. hydrocarbon residue in the carboxylic acid group, and analogs, i.e., having formula I [R1 = H, OH, halo, cyano, CONH2, CO2H, (hetero)aryl, alkoxy, amino, (hetero)aryloxy, etc.; R2 = H, halo, cyano, alkyl, CF3, OH, etc.; or R1R2 = (CH2)4, (CH:CH)2, or CH2OCH2O or its (di)alkyl derivs.; R3 = H, halo, alkyl, CF3, hydroxyalkyl, etc.; R4 = H, OH, alk(en/yn)yl, cycloalkyl, alkoxy, aralkoxy; n = 0, 1; A = (un)substituted alkylene or hetero-isosteres, cycloalkylene, alkenylene, alkadienylene, or ethynylene, D = (un)substituted alkylene, alkenylene, alkynylene, or hetero-isosteres of them; E = (un)substituted (bis)(homo)piperazine bound at the N atoms; G = variety of terminal chains]. Also disclosed are methods for the production of the compds., medicaments containing them, and their production, as well as their therapeutic use, especially as cytostatic agents and immunosuppressive agents, for example, in the treatment or prevention of various types of tumors, and control of immune reactions such as autoimmune diseases. For example, 3-(3-pyridyl)acrylic acid was activated with oxalyl chloride and condensed with O-[3-[4-(diphenylmethyl)piperazin-1-yl]propyl]hydroxylamine to give title compound II. Several representative compds. inhibited various human tumor cells in vitro at low concns., e.g., with IC50 values of 0.1 nM to 10 μM, and also showed immunosuppressive activity against mouse lymphocytes with IC50 values of 0.03-0.09 μM.

IT 227776-04-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinyl-substituted pyridylalkanecarboxamides and analogs as cytostatics and immunosuppressants)

RN 227776-04-7 CAPLUS

CN 1-Piperazinecarboxamide, N-1-naphthalenyl-4-[4-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:394051 CAPLUS
DOCUMENT NUMBER: 131:44847
TITLE: Preparation of heterocyclylbenzamidines as blood-coagulation factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Gante, Joachim; Mederski, Werner; Buchstaller, Hans-Peter; Anzali, Soheila; Bernotat-Danielowski, Sabine; Melzer, Guido
PATENT ASSIGNEE(S): Merck Patent G.m.b.H , Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19755268	A1	19990617	DE 1997-19755268	19971212
CA 2313651	A1	19990624	CA 1998-2313651	19981127
WO 9931092	A1	19990624	WO 1998-EP7673	19981127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9919647	A	19990705	AU 1999-19647	19981127
AU 744002	B2	20020214		
BR 9813477	A	20001024	BR 1998-13477	19981127
EP 1056743	A1	20001206	EP 1998-964455	19981127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002508370	T	20020319	JP 2000-539016	19981127
HU 2000004353	A2	20020328	HU 2000-4353	19981127
RU 2203897	C2	20030510	RU 2000-118792	19981127
IN 1998CA02144	A	20050311	IN 1998-CA2144	19981208
ZA 9811339	A	19990708	ZA 1998-11339	19981210
NO 2000002958	A	20000811	NO 2000-2958	20000609
MX 2000PA05745	A	20010328	MX 2000-PA5745	20000609
PRIORITY APPLN. INFO.:			DE 1997-19755268 A	19971212
			WO 1998-EP7673 W	19981127

OTHER SOURCE(S): MARPAT 131:44847
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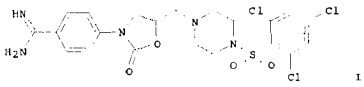


AB R1Z1Z2CH2CH(OR3)CH2Z3Z4R4 [R1 = (acyl- or hydroxy-substituted) C(:NH)NH2, 5-methyl-1,2,4-oxadiazol-3-yl, etc.; R3 = H, alkyl, CH2Ph, etc.; R4 = (cyclo)alkyl, phenyl(alkyl), heterocyclyl(alkyl), etc.; Z1 = (un)substituted phenylene; Z2 = O or NR5; R5 = H, alkyl, CH2Ph; R3R5 = CO; Z3 = O, NR5, piperazine-1,4-diyl, etc.; Z4 = bond, CO, SO2, CO2, CONR5] were prepared as blood-coagulation factor Xa inhibitors (no data). Thus, 3-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-oxooxazolidine-5-ylmethyl methanesulfonate (preparation described) was aminated by Boc-piperazine and the deprotected product amidated by 2,4,6-trichlorobenzenesulfonyl chloride to give, after hydrogenation, title compound I.HOAc.

IT 227326-77-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylbenzamidines as blood-coagulation factor Xa

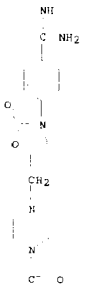
inhibitors)

RN 227326-77-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[3-[4-(aminoiminomethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-1-naphthalenyl-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 227326-76-3
CMF C26 H28 N6 O3

PAGE 1-A



PAGE 2-A

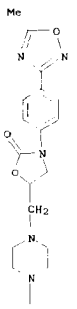
CM 2

CRN 64-19-7
CMF C2 H4 O2

IT 227327-25-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclylbenzamidines as blood-coagulation factor Xa inhibitors)

RN 227327-25-5 CAPLUS
CN 1-Piperazinecarboxamide, 4-[[3-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-1-naphthalenyl- (CA INDEX NAME)

PAGE 1-A



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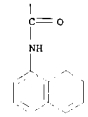

L3 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:233904 CAPLUS

DOCUMENT NUMBER: 130:282084
TITLE: Benzamidine derivatives as factor Xa inhibitors
INVENTOR(S): Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Bernotat-Danielowski, Sabine; Melzer, Guido
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916751	A1	19990408	WO 1998-EP5898	19980916
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DE 19743435	A1	19990408	DE 1997-19743435	19971001
CA 2305568	A1	19990408	CA 1998-2305568	19980916
AU 9895407	A	19990423	AU 1998-95407	19980916
AU 736080	B2	20010726		
EP 10.50046	A1	20000809	EP 1998-948982	19980916
EP 10.50046	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9812699	A	20000822	BR 1998-12699	19980916
JP 2001518467	T	20011016	JP 2000-513837	19980916
HU 2000004306	A2	20011128	HU 2000-4306	19980916
SK 282799	B6	20021203	SK 2000-447	19980916
RU 2194044	C2	20021210	RU 2000-110737	19980916
AT 243681	T	20030715	AT 1998-948982	19980916
IN 1998CA01737	A	20050311	IN 1998-CA1737	19980925
ZA 9808937	A	19990331	ZA 1998-8937	19980930
MX 20003094	A	20010306	MX 2000-3094	20000329
NO 2000001687	A	20000331	NO 2000-1687	20000331
US 6492368	B1	20021210	US 2000-509729	20000331

PRIORITY APPLN. INFO.: DE 1997-19743435 A 19971001
WO 1998-EP5898 W 19980916

OTHER SOURCE(S): MARPAT 130:282084
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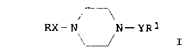

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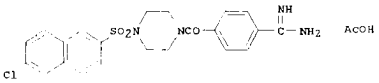


II

AB Title compds. I [X = bond, CO, (un)substituted CH2, CH2CH2, CH2CO, CH2CH2CO, CH:CHCO, NHCO; Y = (un)substituted CH2, SO2, CO, CO2, CONH; R = (un)substituted Ph; R1 = H, (un)substituted alkyl, oxaalkyl, thiaalkyl, alkenyl, cycloalkyl, aryl, aryloxy, heterocyclic, aralkenyl] are inhibitors of coagulation factor Xa and can be used for preventing or treating thromboembolic disorders (no data). Thus, 4-(5-methyl-1,2,4-oxadiazol-3-yl)benzoic acid was converted to the acid chloride, treated with N-tert.-butoxycarbonylpiperazine, and deblocked to give [4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]piperazin-1-ylmethanone which was treated with 6-chloro-2-naphthalenesulfonyl chloride and reduced to give the benzamidine II.

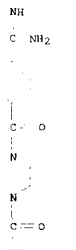
IT 222543-47-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzamidine derivs. as factor Xa inhibitors)

RN 222543-47-7 CAPLUS
CN 1-Piperazinecarboxamide, 4-[4-(aminoiminomethyl)benzoyl]-N-1-naphthalenyl-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 222543-46-6
CMF C23 H23 N5 O2

PAGE 1-A



PAGE 2-A

CM 2

CRN 64-19-7
CMF C2 H4 O2

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:579715 CAPLUS
DOCUMENT NUMBER: 127:278213
TITLE: Imidazole-containing benzodiazepines and analogs as inhibitors of farnesyl protein transferase
INVENTOR(S): Ding, Charles Z.; Hunt, John T.; Kim, Soong-hoon;

Mitt, Toomis; Bhide, Rajeev; Leftheris, Katerina
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 425 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730992	A1	19970828	WO 1997-US2920	19970224
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
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US 6011029	A	20000104	US 1997-802329	19970220
CA 2239187	A1	19970828	CA 1997-2239187	19970224
CA 2239187	C	20030422		
AU 9721366	A	19970910	AU 1997-21366	19970224
AU 718676	B2	20000420		
EP 892797	A1	19990127	EP 1997-906761	19970224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1214685	A	19990421	CN 1997-192535	19970224
BR 9707614	A	19990727	BR 1997-7614	19970224
HU 9902016	A2	19990928	HU 1999-2016	19970224
JP 2000502356	T	20000229	JP 1997-530395	19970224
NZ 330287	A	20000327	NZ 1997-330287	19970224
IL 141908	A	20030410	IL 1997-141908	19970224
IL 124197	A	20030624	IL 1997-124197	19970224
RU 2225405	C2	20040310	RU 1998-117798	19970224
EE 4309	B1	20040615	EE 1998-262	19970224
EP 1481975	A1	20041201	EP 2004-16347	19970224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PL 191502	B1	20060531	PL 1997-328868	19970224
RO 121118	B1	20061229	RO 1998-1326	19970224
ZA 9701621	A	19980825	ZA 1997-1621	19970225
TW 496863	B	20020801	TW 1997-86102668	19970305
LV 12150	B	19981220	LV 1998-129	19980604
NO 9803892	A	19980825	NO 1998-3892	19980825
NO 319395	B1	20050808		
LT 4552	B	19991025	LT 1998-120	19980825
BG 64951	B1	20061031	BG 1998-102738	19980828
US 6455523	B1	20020924	US 1999-374210	19990813
CN 1347881	A	20020508	CN 2001-141154	20010927

PRIORITY APPLN. INFO.: US 1996-12265P P 19960226
US 1996-22805P P 19960725
US 1997-802329 A3 19970220
EP 1997-906761 A3 19970224
IL 1997-124197 A3 19970224
WO 1997-US2920 W 19970224

OTHER SOURCE(S): MARPAT 127:278213
GI

AB The invention relates to a series of imidazole-substituted benzodiazepines and analogs that inhibit farnesyl-protein transferase (FPT) and ras protein farnesylation, thereby being useful as anti-cancer agents. The compds. are also useful in the treatment of diseases, other than cancer, associated with signal transduction pathways operating through ras, and those associated with proteins other than ras that are also post-translationally modified by FPT. The compds. may also act as inhibitors of other prenyl transferases, and thus be effective in the treatment of diseases associated with other prenyl modifications of proteins. Over 430 synthetic examples are given. For instance, 2,3,4,5-tetrahydro-1H-1,4-benzodiazepine was N-acylated by 1-naphthoic acid Ph ester in the presence of DMAP, and the product was reductively alkylated by 4-formylimidazole in the presence of NaBH(OAc)3 to give title compound I, isolated as the HCl salt. The example compds. inhibited FPT with IC50 values between 0.1 nM and 100 μM.

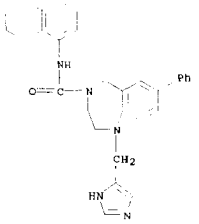
IT 195982-03-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole-containing benzodiazepines and analogs as inhibitors of farnesyl protein transferase)

RN 195982-03-7 CAPLUS

CN 4H-1,4-Benzodiazepine-4-carboxamide, 1,2,3,5-tetrahydro-1-(1H-imidazol-5-ylmethyl)-N-1-naphthalenyl-7-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L3 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:533632 CAPLUS

DOCUMENT NUMBER: 127:220673

TITLE: Novel aromatic piperazines derived from substituted cycloazanes, method for preparing same, pharmaceutical compositions, and use thereof as drugs

INVENTOR(S): Halazy, Serge; Jorand-Lebrun, Catherine; Pauwels, Peter; Chopin, Philippe; Marien, Marc

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Halazy, Serge; Jorand-Lebrun, Catherine; Pauwels, Peter; Chopin, Philippe; Marien, Marc

SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

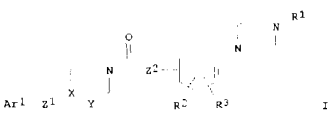
PATENT INFORMATION:

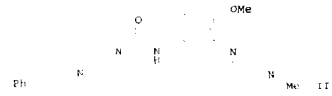
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728141	A1	19970807	WO 1997-FR203	19970203
W: AU, BR, CA, CN, JP, KR, MX, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2744449	A1	19970808	FR 1996-1273	19960202
FR 2744449	B1	19980424		
CA 2245718	A1	19970807	CA 1997-2245718	19970203
AU 9716074	A	19970822	AU 1997-16074	19970203
EP 880512	A1	19981202	EP 1997-902427	19970203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9707251	A	19990406	BR 1997-7251	19970203
CN 1214047	A	19990414	CN 1997-193122	19970203
JP 2000505795	T	20000516	JP 1997-527377	19970203

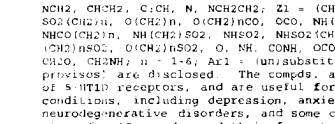
PRIORITY APPLN. INFO.: FR 1996-1273 A 19960202
WO 1997-FR203 W 19970203

OTHER SOURCE(S): CASREACT 127:220673; MARPAT 127:220673

GI







AB Title compds. I [R1 = H, alkyl; R2, R3 = H, alkyl, alkoxy, thioether, nitrile, CF3, F, Cl, Br, I; or R2R3 form a 5- or 6-membered ring; XY = NCH2, CHCH2, C:CH, N, NCH2CH2; Z1 = (CH2)n, (CH2)nCO, CO, CO(CH2)n, SO2, SO2(CH2)n, O(CH2)n, O(CH2)nCO, OCO, NH(CH2)n, NH(CH2)nCO, NHCO, NHCO(CH2)n, NH(CH2)SO2, NHSO2, NHSO2(CH2)n, CH:CHCO, C.tplbond.CCO, (CH2)nSO2, O(CH2)nSO2, O, NH, CONH, OCONH, O(CH2)nO, etc.; Z2 = O, NH, CH2O, CH2NH; n = 1-6; Ar1 = (un)substituted Ph, naphthyl, or pyridyl; with provisos] are disclosed. The compds. are strong and selective antagonists of 5-HT1D receptors, and are useful for treatment of a variety of conditions, including depression, anxiety, schizophrenia, neurodegenerative disorders, and some cancers. Synthetic examples are given for 42 compds. and their fumarate salts. For instance, 4-methoxy-3-(4-methylpiperazin-1-yl)aniline underwent reaction with triphosgene, and subsequent amidation with 4-phenethylpiperazine, to give 84% title compound II. In a test for inhibition of sumatriptan-induced thymidine uptake by C6 glial cells transfected with the 5-HT1Dβ and 5-HT1Dα receptor genes, I had IC50 values in the range of 10-100 nM. In 5-HT receptor assays, II had Ki values of 2.1 nM and 1.9 nM for subtypes 1Dα and 1Dβ, resp., vs. 3500 nM for subtype 1A.

IT 194942-87-5P 194942-88-6P

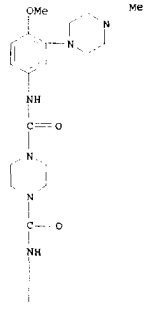
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazine derivs. as 5-HT1D antagonists)

RN 194942-87-5 CAPLUS

CN 1,4-Piperazinedicarboxamide, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

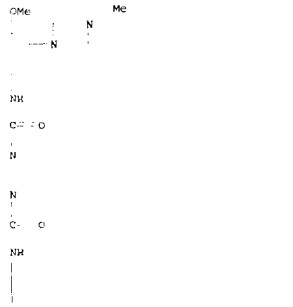
RN 194942-88-6 CAPLUS

CN 1,4-Piperazinedicarboxamide, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(5,6,7,8-tetrahydro-1-naphthalenyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 194942-87-5
CMF C28 H38 N6 O3

PAGE 1-A

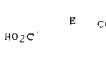


PAGE 2-A

CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



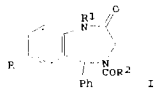
L3 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:164871 CAPLUS
DOCUMENT NUMBER: 84:164871
ORIGINAL REFERENCE NO.: 84:26775a,26778a
TITLE: Benzodiazepine derivatives
INVENTOR(S): Rohricht, Julia; Kistaludy, Lajos; Urogdi, Laszlo; Palosi, Eva; Szeberenyi, Szabolcs; Szporny, Laszlo
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar RT., Hung.

SOURCE: Ger. Offen., 48 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2523250	A1	19751218	DE 1975-2523250	19750526
DE 2523250	C2	19880107		
AU 7580951	A	19761111	AU 1975-80951	19750508
AU 502405	B2	19790726		
IL 47268	A	19810130	IL 1975-47268	19750512
CH 628036	A5	19820215	CH 1975-6729	19750522
FR 2272674	A1	19751226	FR 1975-16295	19750526
FR 2272674	B1	19790810		
SE 7506053	A	19751201	SE 1975-6053	19750527
SE 426242	B	19821220		
SE 426242	C	19830414		
BE 829595	A1	19750915	BE 1975-156798	19750528
DK 7502366	A	19751130	DK 1975-2366	19750528
DK 153479	B	19880718		
DK 153479	C	19881128		
NL 7506272	A	19751202	NL 1975-6272	19750528
JP 51001486	A	19760108	JP 1975-63985	19750528
DD 121516	A5	19760805	DD 1975-186307	19750528
AT 7504063	A	19771015	AT 1975-4063	19750528
PL 98943	B1	19780531	PL 1975-193640	19750528
PL 100441	B1	19781031	PL 1975-180778	19750528
CA 1063605	A1	19791002	CA 1975-227928	19750528
CS 195290	B2	19800131	CS 1975-3740	19750528
SU 942594	A3	19820707	SU 1975-2137707	19750528
SU 776559	A3	19801030	SU 1976-2343705	19760408
CS 195291	B2	19800131	CS 1977-178	19770111
JP 54055591	A	19790502	JP 1978-84608	19780713
JP 01022269	B	19890425		
SU 1318158	A3	19870615	SU 1978-2663501	19780918

PRIORITY APPLN. INFO.: HU 1974-RI538 A 19740529
CS 1975-3740 19750528

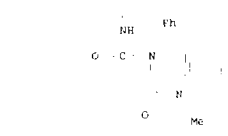
GI



AB Benzodiazepines I (R = Cl, NO2, NH2, H; R1 = H, Me; R2 = alkoxy, amino, Cl, cycloalkyl, Me, CH2Cl, CH2NH2, CH2Ph, H, CH:CH2, C6H4Cl2) were prepared by treating 4-unsubstituted benzodiazepines with ClCOR2, isocyanates etc. I are tranquilizers. Thus I (R = Cl, R1 = Me, R2 = NH2) had a activity

similar to that of diazepam against metrazole-induced convulsions, but with less sedative and muscle relaxant side effects and a much higher LD50.

IT 59010-23-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59010-23-0 CAPLUS
CN 4H-1,4-Benzodiazepine-4-carboxamide, 7-chloro-1,2,3,5-tetrahydro-1-methyl-N-1-naphthalenyl-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)



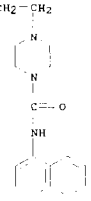
L3 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:87597 CAPLUS
DOCUMENT NUMBER: 55:87597
ORIGINAL REFERENCE NO.: 55:16577c-f
TITLE: Piperazine derivatives
INVENTOR(S): Huebner, Charles Ferdinand
PATENT ASSIGNEE(S): Ciba Pharmaceutical Products, Inc.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2977362		19610328	US 1957-703494	19571218

AB Acyl derivs. of 1-(2-hydroxyethyl)-4-(N-phenylcarbamoyl)piperazine-HCl (I) were prepared by treating I with RCOCl or (RCO)2O in the presence of a tertiary base or other acid acceptor. Thus, 10 g. I in 50 ml. pyridine was treated with 10 ml. Ac2O, the mixture kept overnight at room temperature, distilled, and the residue dissolved in 20 ml. H2O and made basic with aqueous NH3. The mixture was extracted with Et2O, the extract evaporated, and the residue made acid with 6N EtOH-HCl to give 1-(2-acetoxyethyl)-4-(N-phenylcarbamoyl)piperazine-HCl, m. 170-1° (EtOH). I butyrate, similarly prepared from I and PrCOCl, m. 172-5° (EtOH); I 2-diphenylacetate oxalate m. 208°; I benzoate m. 228-30°. I was prepared by adding dropwise 17 ml. PhNCO in 50 ml. C6H6 to 20 g. 1-(2-hydroxyethyl)piperazine (II) in 100 ml. C6H6, keeping 6 hrs. at 20°, evaporating, and acidifying with 6N EtOH-HCl, m. 210-211° (EtOH). Similarly, 1-(2-hydroxyethyl)-4-[N-(1-naphthyl)carbamoyl]piperazine (III) was prepared, m. 140-5° (EtOH-H2O). III acetate HCl salt was prepared from III and AcCl m.

228-9°. Similarly, 1-(2-acetoxyethyl)-4-[dimethylcarbamoyl]piperazine oxalate was prepared from AcCl and 1-(2-hydroxyethyl)-4-(dimethylcarbamoyl)piperazine (IV), m. 159-160°. IV was prepared by treating 10 g. II with 8.5 g. Me2NCOCl in CHCl3 at room temperature, and working up after 24 hrs. 1-[2-(N-Phenylcarbamoyloxy)ethyl]-4-(phenylcarbamoyl)-piperazine, prepared by refluxing 5 g. free base of I with 2.7 ml. PhNCO in 50 ml. C6H6 24 hrs., m. 180° (EtOH). Anticholinergic and antispasmodic properties were shown by the salts of the new compds.

IT 101578-29-4P, 1-Piperazinecarboxamide, 4-(2-hydroxyethyl)-N-1-naphthyl- 110441-89-9P, 1-Piperazinecarboxamide, 4-(2-hydroxyethyl)-N-1-naphthyl-, acetate, hydrochloride
RL: PREP (Preparation)
(preparation of)
RN 101578-29-4 CAPLUS
CN 1-Piperazinecarboxamide, 4-(2-hydroxyethyl)-N-1-naphthyl- (6CI) (CA INDEX NAME)



RN 110441-89-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-(2-hydroxyethyl)-N-1-naphthyl-, acetate, hydrochloride (6CI) (CA INDEX NAME)

● HCl

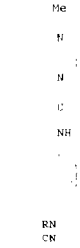
L3 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1951:36180 CAPLUS
DOCUMENT NUMBER: 45:36180
ORIGINAL REFERENCE NO.: 45:6211a-i,6211a-d
TITLE: The filaricidal derivatives of 1-methylpiperazine
AUTHOR(S): Morren, H.; Trolin, S.; Denayer, R.; Grivsky, E.
CORPORATE SOURCE: Union chim. Belge, Brussels
SOURCE: Bulletin des Societes Chimiques Belges (1950), 59, 228-37
CODEN: BSCBAG; ISSN: 0037-9646
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA issue.
AB The authors have prepared a large number of 4-substituted 1-methylpiperazines to be tested for filaricidal activity. Products resulting from the reactions of 1-methylpiperazine (I) or its di-HCl salt with various reagents are subdivided into 4 categories: (1) reaction with amides or esters of halogenated aliphatic acids in alc. in the presence of NaHCO3, (2) reaction with acyl chlorides in various media in the presence of an HX acceptor (NaOAc, KOH, or I itself), (3) reaction with various alkyl sulfonyl chlorides in CHCl3, (4) use of 1-methyl-4-piperazinecarbonyl chloride (II) in various media on a variety of amines (C.A. 44, 3506g). To obtain crystallizable, nondeliquescent salts of these compds., various organic acids were used. Preparation of II: I (4 parts) in 100 parts PhMe at 0° is added with vigorous stirring to 20 parts (15% excess) COCl2 in 100 parts PhMe, stirring continued at a low temperature 1 h., and the HCl salt of the acid chloride filtered off and carefully washed with PhMe and dry ether (quant. yield). In certain cases, it suffices to remove the excess COCl2 by distillation of some of the solvent in vacuo, the gas being trapped in NH3 solution. Type preparation, category (1): N,N-diethyl-1-methyl-4-piperazineacetamide. I.2HCl (346 g.) (2 mols.), 504 g. (6 mols.) NaHCO3, and 300 g. (2 mols.) ClCH2CONEt2 are refluxed 10 h. in 2 l. alc., the mixture chilled, the mineral salts filtered off, the solvent evaporated, dried by azeotropic distillation, the residue distilled under a high vacuum, 213 g. (1

mol.) of the base in 1.2 l. EtOH at 94° added to 232 g. (2 mols.) maleic acid in 1.2 l. EtOH at 94° with shaking; the dimaleate crystallizes immediately and quant. Type preparation, category (2): 1-Methyl-4-ethoxalyl piperazine. To 17.3 g. (0.1 mol.) I.2HCl and 12 g. KOH in 150 cc. H2O are added simultaneously with stirring, and at 0° 15 g. (0.11 mol.) ClCOCO2Et and 6 g. KOH in 10 cc. H2O stirring continued 1 h., the solution saturated with K2CO3, extracted with ether, the ether extract dried, concentrated, distilled in a high vacuum, and the HCl salt formed by bubbling dry HCl into a C6H6-EtOH solution of the base; the product is easily recrystd. from C6H6 or Me2CO containing 10% alc. Type preparation, category (3): N,N - Di-Et - 1 - Me - 4 - piperazinesulfonamide. Et2NSO2Cl (17.1 g. 0.1 mol.) in 50 cc. CHCl3 is added at 0° with stirring to 20 g. (0.2 mol.) I in 50 cc. CHCl3, the mixture refluxed 12 h., and the solvent removed at atmospheric pressure; the residue solidifies on cooling, and after trituration with 10 cc. absolute alc., 100 cc. dry ether is added and the mixture filtered, giving 0.1 mol. I.HCl, m. 130°. The filtrate is evaporated in vacuo, the oily product dissolved in 100 cc. ether, filtered with charcoal, and the ether solution added with agitation 0.1 mol. maleic acid in Et2O-EtOH. The product, an oil which crystallizes on scratching, is reppt'd. from a min. of absolute alc. with ether. Type preparation, category (4). 1-Methyl-4-(methylethylcarbamyl)piperazine. To 19.9 g. (0.1 mol.) II suspended in 100 cc. dry PhMe, at 0° is added with stirring 20 g. (0.33 mol.) EtNHMe in 100 cc. dry PhMe, and the solution slowly heated and refluxed 1 h. The EtNHMe.HCl formed adheres to the walls of the flask. After cooling, 1 volume ether is added, the Et2O-PhMe solution decanted, the solvent evaporated, and the residue distilled in vacuo. The fumarate is prepared by adding the base in ether to a suspension of 12 g. fumaric acid in 60 cc. absolute alc., evaporating the ether, and the warming the alc. solution until the salt dissolves; after crystallization 2 vols. ether is added and the salt reppt'd. from a min. of iso-PrOH with ether. The following CH2.CH2.NMe.CH2.CH2.NR (III) were prepared (R, b.p./mm., of III, % yield, method of preparation, salt, and m.p. of salt (CH2(CO2H)2 (IV), HCl (V), and citrate (VI)), resp., given]: CH2CONEt2, 112-15°/1, 75%, 1, IV, 175°, V, 215°; CH2CONHEt, 135°/5, 48, 1, V, 221°; CH2CONH2, -, 60, 1, IV, 154°; CH2CONMe2, 110-12°/1, 72, 1, IV, 177°; CHMeCONEt2, 109-11°/1, 70, 1, IV, 161°; CH2CH2CONEt2, 134-6°/1, 70, 1, IV, 180°; CH2CO2Et, 115-16°/12, 68, 1, IV, 160°; CH2CONHMe (VII), 113-15°/0.5, 50, -, IV, 141°; CH2CON.(CH2)2.NMe.CH2.CH2, -, 25, 1, V, 215° (decompose); COCH2NEt2 (VIII), -, 22, -, V, 215° (decompose); COCO2Et, 118-20°/1, 80, 2, V, 140°; COCONEt2, 159-61°/2, 55, 2, V, 190°; COCH2CO2Et, -, 51, 2, VI, 135°; SO2NEt2, -, 80, 3, IV, 96-7°; SO2NMe2, -, 80, 3, IV, 136-8°; SO2NPr2, -, 80, 3, IV, 122°; SO2C6H4NHCOMe-p, m. 182°, 82, 3, -, -; SO2C6H4NH2-p (IX), m. 228-9°, 90, -, IV, 180°, V, 234-6°; (10-phenothiazinyl)carbonyl, -, 85, 4, V, 229-31°; (4-methyl-10-oxothiaxanthen-1-yl)aminocarbonyl, -, 65, 4, IV, 203°; CONHCHMe(CH2)3NEt2, 120-1°/1, 70, 4, -, -; CONH(CH2)4NEt2, 116-18°/1, 70, 4, -, -; CONH(CH2)2NEt2, 168-70°/2, 60, 4, -, -; CONHC10H7-1, m. 172-4°, 5, 4, V, 240°; CONHCHMeCH2Ph, 172-4°/0.5, 72, 4, IV, 75°; CONHCH.(CH2)4.CH2, m. 126-7°, 80, 4, IV, 142°;

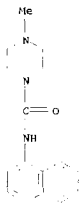
CON(CH2CH2Ph)2, 215°/0.25, 70, 4, V, 186-8°; CONHCH2CH2Ph, 170°/1, 98, 4, VI, 127°; CONMeEt, 132-4°/15, 70, 4, fumarate, 130-1°; CONHMe, 140°/2, 70, 4, IV, 144°; CON:CH2.CH2.CH:N, 138-40°/0.5, 90, 4, VI, 155°; CON.CH.CH.CH.N, 128°/1.5, 90, 4, IV, 129°; CONHC6H4Cl-p, m. 174°, 68, 4, IV, 149-50°; CON.CH:CH.S.C:NCO, -, 45, 4, V, 192°, CONHC(.NH)NH2, -, 50, 4, VI, decompose < 50°. VII was prepared by saponification of the preceding ester in the presence of MeNH2; VIII was prepared by action of 1-methyl-4-chloroacetopiperazine on Et2NH; IX was prepared from the preceding amide.

IT 6266-76-8P, 1-Piperazinecarboxamide, 4-methyl-N-1-naphthyl-
856845-11-9P, 1-Piperazinecarboxamide, 4-methyl-N-1-naphthyl-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 6266-76-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-methyl-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



RN 856845-11-9 CAPLUS
CN 1-Piperazinecarboxamide, 4-methyl-N-1-naphthyl-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

=> dhis
DHIS IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d his

(FILE 'HOME' ENTERED AT 17:39:08 ON 17 NOV 2007)

FILE 'REGISTRY' ENTERED AT 17:39:16 ON 17 NOV 2007
L1 STRUCTURE UPLOADED
L2 276 S L1 FULL

FILE 'CAPLUS' ENTERED AT 17:39:50 ON 17 NOV 2007
L3 23 S L2 FULL